## **EAST Search History**

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	17	trotter.in. and tyrosine ADJ kinase\$	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/09/20 14:04

9/20/2007 2:09:02 PM C:\Documents and Settings\njarrell\My Documents\EAST\Workspaces\10982576.wsp Page 1 => b reg FILE 'REGISTRY' ENTERED AT 13:47:14 ON 20 SEP 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2007 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 19 SEP 2007 HIGHEST RN 947584-60-3 DICTIONARY FILE UPDATES: 19 SEP 2007 HIGHEST RN 947584-60-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

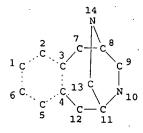
TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> d que sta 19 L1 STR



NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE
L9 19 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 18067 ITERATIONS SEARCH TIME: 00.00.01

19 ANSWERS

=> b hcap FILE 'HCAPLUS' ENTERED AT 13:47:33 ON 20 SEP 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 20 Sep 2007 VOL 147 ISS 13 FILE LAST UPDATED: 19 Sep 2007 (20070919/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2007 ACS on STN

=> d bib abs hitrn fhitstr 18 tot

L8

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2003:836790 HCAPLUS
AN
DN
     139:337988
     Preparation of 1,2,3,4,5,6-hexahydro-5,2-(epiminomethano)-3-benzazocine
     derivatives as tyrosine kinase inhibitors
     Trotter, B. Wesley
TN
     Merck & Co., Inc., USA
PΑ
SO
     PCT Int. Appl., 78 pp.
     CODEN: PIXXD2
DТ
     Patent
     English
LA
FAN.CNT 1
     PATENT NO.
                          KIND
                                  DATE
                                               APPLICATION NO.
                                                                       DATE
PΙ
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                           A2
                                  20031023
                                                                       20030408
     WO2003086315
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             LT, LU, LV,
             PL, PT, RO,
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                                               2003JP-0583340
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     US2005227988
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PRAI 2002US-372232P
                           Р
                                  20020412
     2003WO-US12457
                                  20030408
os
     MARPAT 139:337988
GI
```

 $Q = -(CR^{1}?_2)_n - X - (CR^{1}?_2)_p - V - (R^2)_q$ 

The present invention relates to benzazocine compds. [I; wherein Rla = H, AB (un) substituted C1-6 alkyl, OR4; R1b = H, (un) substituted C1-6 alkyl; X = a bond, CO, O, NR4, S(O)mR4, CO2R4, CON(R4)2; R1 = H, halo, OR4, NO2, S(0) mR4, cyano, each (un) substituted C1-10 alkyl, aryl, C2-6 alkenyl, C3-10 cycloalkyl, C2-6 alkynyl, or heterocyclyl, COR4, CO2R4, CON(R4)2, S(0)mN(R4)2, N(R4)2; V=H, CF3, aryl, heterocyclyl, C3-10 cycloalkyl; R2 = H, (un) substituted C1-10 alkyl, (CR1b) tOR4, halo, cyano, NO2, CF3, (CR1b) tN(R4)2, CO2R4, COR4, SO2R4, (CR1b) tNR4 (CR1b) tR5, (CR1b) tS(O) mNR4, CO2R4, NR4COR4, each (un) substituted aryl or heterocyclyl; R4 = H, each (un) substituted C1-10 alkyl, C3-10 cycloalkyl, aryl, or heterocyclyl, CF3; R5 = each (un)substituted aryl or heterocyclyl; m = 0, 1, or 2; n, p, q, t = 0 to 6] or pharmaceutically acceptable salts or stereoisomers thereof. These compds are capable of inhibiting, modulating and/or regulating signal transduction of both receptor-type tyrosine kinases (RTK) selected from insulin receptor (IR) kinase, insulin-like growth factor I receptor (IGF-IR) kinase and IRR receptor tyrosine kinase and non-receptor type tyrosine kinases (no data). They are useful for treating protein kinase, in particular RTK-related disorders such as cancer, diabetes, an autoimmune disorder, a hyperproliferation disorder, aging, acromegaly, and Crohn's disease and also treating retinal vascularization.

IT 615557-39-6P 615557-40-9P 615557-41-0P 615557-42-1P 615557-43-2P 615557-44-3P 615557-45-4P 615557-46-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hydro(epiminomethano)benzazocine derivs as tyrosine kinase inhibitors for treating receptor type tyrosine kinase-related disorders)

IT 615557-51-2P 615557-52-3P 615557-53-4P 615557-54-5P 615557-55-6P 615557-56-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of hydro(epiminomethano)benzazocine derivs. as tyrosine kinase inhibitors for treating receptor type tyrosine kinase-related disorders)

IT 615557-39-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of hydro(epiminomethano)benzazocine derivs. as tyrosine kinase inhibitors for treating receptor type tyrosine kinase-related disorders)

RN 615557-39-6 HCAPLUS

S,2-(Iminomethano)-3-benzazocine, 3-[(3-bromophenyl)methyl]-1,2,3,4,5,6-hexahydro-11-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

=> d bib abs hitstr 112 tot

ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2007 ACS on STN L12 2002:543654 HCAPLUS AN DN

137:338105

Stereoselective synthesis of  $bis(\alpha-amino\ acid)$  derivatives isosteric TI of cysteine. Part 4

Ferioli, Federico; Piccinelli, Fabio; Porzi, Gianni; Sandri, Sergio ΑIJ Dipartimento di Chimica 'G. Ciamician', Universita di Bologna, Bologna, CS 40126, Italy

Tetrahedron: Asymmetry (2002), 13(11), 1181-1187 CODEN: TASYE3; ISSN: 0957-4166 so

PB Elsevier Science Ltd.

DT Journal

LΑ English

CASREACT 137:338105 OS

GI

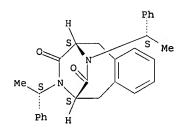
HO<sub>2</sub>C 
$$_{R^1}$$
  $_{NH_2}$   $_{CO_2H}$   $_{I}$   $_{H_2N}$   $_{R^1}$   $_{R^1}$   $_{CO_2H}$   $_{II}$   $_{H_2N}$   $_{R^1}$   $_{Ph}$   $_{NH_2}$   $_{NH_2}$   $_{Ph}$   $_{NH_2}$   $_{NH_2}$   $_{Ph}$   $_{NH_2}$   $_{NH_2}$   $_{NH_2}$   $_{NH_2}$   $_{Ph}$   $_{NH_2}$   $_{NH_2}$   $_{NH_2}$   $_{Ph}$   $_{NH_2}$   $_{NH_2$ 

Enantiomerically pure  $\alpha$ -alkyl derivs. of  $\alpha, \alpha'$ -AB diaminodicarboxylic acids isosteric of cysteine I, II and III (R1 = Me, CH2Ph, CH2OMe, CH2CH:CH2, CH2OH) have been synthesized starting from the glycine-derived chiral synthon IV via alkylation of bicyclic and tricyclic intermediates following by acid-hydrolysis.

IT 330160-19-5

- RL: RCT (Reactant); RACT (Reactant or reagent) (stereoselective synthesis of 2,7-diaminocarboxylic acid derivs. from glycine-derived chiral synthon via alkylation and acid-hydrolysis) 330160-19-5 HCAPLUS
- RN 5,2-(Iminomethano)-3-benzazocine-4,12(1H)-dione, 2,3,5,6-tetrahydro-3,11-CN bis[(1S)-1-phenylethyl]-, (2S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



473920-00-2P 473920-01-3P 473920-03-5P IT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(stereoselective synthesis of 2,7-diaminocarboxylic acid derivs. from glycine-derived chiral synthon via alkylation and acid-hydrolysis) RN 473920-00-2 HCAPLUS

5,2-(Iminomethano)-3-benzazocine-4,12(1H)-dione, 2,3,5,6-tetrahydro-2-CN methyl-3,11-bis[(1S)-1-phenylethyl]-, (2S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 473920-01-3 HCAPLUS

CN 5,2-(Iminomethano)-3-benzazocine-4,12(1H)-dione, 2,3,5,6-tetrahydro-3,11-bis[(1S)-1-phenylethyl]-2-(phenylmethyl)-, (2S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 473920-03-5 HCAPLUS

CN 5,2-(Iminomethano)-3-benzazocine-4,12(1H)-dione, 2,3,5,6-tetrahydro-2-(methoxymethyl)-3,11-bis[(1S)-1-phenylethyl]-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

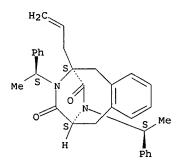
IT 473920-06-8P

RN

RL: SPN (Synthetic preparation); PREP (Preparation)
(stereoselective synthesis of 2,7-diaminocarboxylic acid derivs. from glycine-derived chiral synthon via alkylation and acid-hydrolysis)
473920-06-8 HCAPLUS

CN 5,2-(Iminomethano)-3-benzazocine-4,12(1H)-dione, 2,3,5,6-tetrahydro-3,11-bis[(1S)-1-phenylethyl]-2-(2-propenyl)-, (2S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

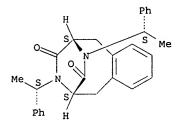


RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS REÇORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L12 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2007 ACS on STN
     2001:32350 HCAPLUS
AN
     134:237770
DN
     Stereoselective synthesis of \alpha,\alpha'-diamino-dicarboxylic acids.
TI
     Paradisi, F.; Porzi, G.; Rinaldi, S.; Sandri, S.
Dipartimento di Chimica 'G. Ciamician', Universita di Bologna, Bologna,
ΔU
CS
     40126, Italy
     Tetrahedron: Asymmetry (2000), 11(22), 4617-4622
SO
     CODEN: TASYE3; ISSN: 0957-4166
     Elsevier Science Ltd.
PB
DT
     Journal
LА
     English
os
     CASREACT 134:237770
AB
     Enantiomerically pure \alpha,\alpha'-diamino dicarboxylic acids (R,R)-
     and (S,S)-2,7-diaminosuberic acid and (S,S)-o-phenylenebis(alanine) have
     been synthesized starting from the glycine-derived chiral synthon
     (S,S)-1,4-bis(1-phenylethyl)-2,5-piperazinedione.
IT
     330160-19-5P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (stereoselective preparation of (R,R) - and (S,S)-2,7-diaminosuberic acid and
         (S,S)-o-phenylenebis(alanine))
     330160-19-5 HCAPLUS
RN
     5,2-(Iminomethano)-3-benzazocine-4,12(1H)-dione, 2,3,5,6-tetrahydro-3,11-
     bis[(1S)-1-phenylethyl]-, (2S,5S)- (9CI) (CA INDEX NAME)
```

Absolute stereochemistry. Rotation (-).

=> b uspatall; d bib abs hitrn fhitstr 116 tot



THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 13 ALL CITATIONS AVAILABLE IN THE RE FORMAT

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CA INDEXING COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)
FILE 'USPATOLD' ENTERED AT 13:48:26 ON 20 SEP 2007
CA INDEXING COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)
FILE 'USPAT2' ENTERED AT 13:48:26 ON 20 SEP 2007
CA INDEXING COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)
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L16 ANSWER 1 OF 1 USPATFULL on STN
       2005:261958 USPATFULL
AN
TI
       Tyrosine kinase inhibitors
       Trotter, B. Wesley, Glenside, PA, UNITED STATES
IN
PΙ
       US-20050227988
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                               20030408 (10)
AΤ
                           A1
       2003WO-US00012457
                               20030408
                               20041008
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                           20020412 (60)
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       MERCK AND CO., INC, P O BOX 2000, RAHWAY, NJ, 07065-0907, US
LREP
      Number of Claims: 20
CLMN
       Exemplary Claim: 1
DRWN
       No Drawings
LN.CNT 2093
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       The present invention relates to compounds that are capable of
AB
```

inhibiting, modulating and/or regulating signal transduction of both receptor-type and non-receptor type tyrosine kinases. The compounds of the instant invention possess a core structure that comprises a benzazocine moiety. The present invention is also related to the pharmaceutically acceptable salts, hydrates and stereoisomers of these compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT. 615557-39-6P 615557-40-9P 615557-41-0P IT 615557-42-1P 615557-43-2P 615557-44-3P 615557-45-4P 615557-46-5P (preparation of hydro(epiminomethano)benzazocine derivs. as tyrosine kinase inhibitors for treating receptor type tyrosine kinase-related disorders 615557-51-2P 615557-52-3P 615557-53-4P

615557-54-5P 615557-55-6P 615557-56-7P (preparation of hydro(epiminomethano)benzazocine derivs. as tyrosine kinase inhibitors for treating receptor type tyrosine kinase-related disorders

IT 615557-39-6P

(preparation of hydro(epiminomethano)benzazocine derivs. as tyrosine kinase inhibitors for treating receptor type tyrosine kinase-related disorders)

RN, 615557-39-6 USPATFULL

5,2-(Iminomethano)-3-benzazocine, 3-[(3-bromophenyl)methyl]-1,2,3,4,5,6-CN hexahydro-11-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

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L1 STR

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